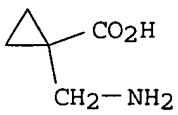
L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:267085 CAPLUS
DN 143:311
TI Novel cyclopropyl β-amino acid analogues of pregabalin and Gabapentin that target the α2-δ protein
AU Schwarz, Jacob B.; Gibbons, Sian E.; Graham, Shelley R.; Colbry, Norman L.; Guzzo, Peter R.; Le, Van-Duc; Vartanian, Mark G.; Kinsora, Jack J.; Lotarski, Susan M.; Li, Zheng; Dickerson, Melvin R.; Su, Ti-Zhi; Weber, Mark L.; El-Kattan, Ayman; Thorpe, Andrew J.; Donevan, Sean D.; Taylor, Charles P.; Wustrow, David J.
CS Michigan Laboratories, Pfizer Global Research and Development, Ann Arbor, MI, 48105, USA
SO Journal of Medicinal Chemistry (2005), 48(8), 3026-3035
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB As part of a program aimed at generating compds. with affinity for the α2-δ subunit of voltage-gated calcium channels, several novel β-amino acids were prepared using an efficient nitroalkane-mediated cyclopropanation as a key step. Depending on the ester that was chosen, the target amino acids could be prepared in as few as three steps. The cyclopropyl amino acids derived from ketones proved to be potent binders of the α2-δ subunit of voltage-gated calcium channels, but did not interact with the large neutral amino acid system L (leucine) transporter. Anticonvulsant effects were observed in vivo with compound 34 but only after intracerebroventricular (icv) administration, presumably due to inadequate brain concns. of the drug being achieved following oral dosing. However, pregabalin 1 was active in the DBA/2 model after oral (and icv) dosing, supporting a hypothesis that active transport is a prerequisite for such zwitterionic species to cross the blood-brain barrier.
IT **139126-45-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclopropyl β-amino acid analogs of pregabalin and gabapentin targeting α2-δ Protein)
RN 139126-45-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:972059 CAPLUS
DN 140:27819
TI Preparation of pyrazole derivatives as JNK inhibitors
IN Ohi, Norihito; Sato, Nobuaki; Soejima, Motohiro; Doko, Takashi; Terauchi, Taro; Naoe, Yoshimitsu; Motoki, Takafumi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 561 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

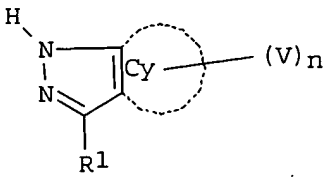
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003101968	A1	20031211	WO 2003-JP6777	20030529

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2482838	AA	20031211	CA 2003-2482838	20030529
	EP 1510516	A1	20050302	EP 2003-733170	20030529
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	JP 2002-158467	A	20020531		
	JP 2003-153	A	20030106		
	WO 2003-JP6777	W	20030529		

OS MARPAT 140:27819
GI

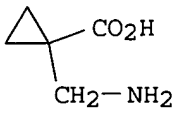


I

AB The title compds. I [R1 represents (CO)h(NRa)j(CRb:CRc)kAr (wherein Ra, Rb, and Rc each independently represents hydrogen, halogeno, hydroxy, optionally substituted C1-6 alkyl, etc.); Ar = (un)substituted aromatic heterocyclic ring, etc.; h, j, k = 0 or 1; Cy is a 5- or 6-membered aromatic heterocycle; and V represents L-X-Y (wherein L is a single bond, optionally substituted C1-6 alkylene, etc.; X is a single bond, O, CO, etc.; and Y is hydrogen, halogeno, nitro, etc.); n = 0 - 4] are prepared Compds. of this invention in vitro showed IC50 values of 63 nM to 578 nM against JNK-3.

IT **139126-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazole derivs. as JNK inhibitors)

RN 139126-45-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)

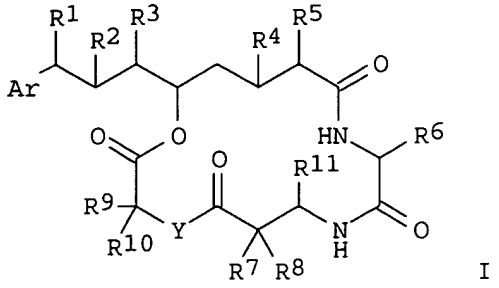


RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

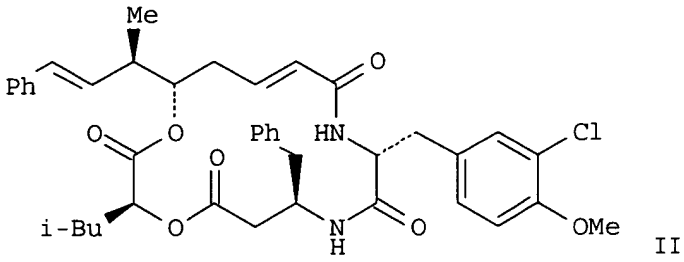
L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:696642 CAPLUS
DN 137:232915
TI Preparation of cryptophycin compounds as pharmaceuticals
IN Shih, Chuan
PA USA
SO U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 495,670.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002128185	A1	20020912	US 2001-990895	20011116
PRAI	US 1998-29186	B2	19980225		

US 1998-29188 B2 19980225
US 1998-29203 B2 19980225
US 2000-495670 A2 20000201
OS MARPAT 137:232915
GI



I

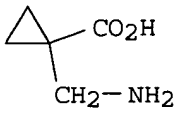


II

AB The invention provides novel cryptophycin compds. I [Ar = Ph which may be substituted by OH, alkoxy, halogen, or alkyl; R1 = halo and R2 = OH or R1R2 = O or a bond; R3 = alkyl; R4, R5 = H or together form a bond; R6 = benzyl, hydroxy-, alkoxy-, halohydroxy-, dihalohydroxy-, haloalkoxy-, or dihaloalkoxybenzyl; R7, R8 = H or together form a spiro group; R9 = H or alkyl; R10 = H; Y = O or NH] or pharmaceutically acceptable salts, which can can disrupt the microtubulin system of the cytoskeleton and are useful as antineoplastic or antifungal agents and for the treatment of cancer. The invention further provides a formulation for administering the novel cryptophycin compds. Thus, compound II was prepared via esterification, deprotection, and lactamization reactions. Compds. I were tested for cytotoxicity and antitumor activity.

IT **139126-45-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cryptophycin compds. as pharmaceuticals)

RN 139126-45-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:165493 CAPLUS
DN 128:217640
TI Preparation of novel cryptophycins as microtubulin-disrupting antitumor and antifungal agents
IN Al-Awar, Rima S.; Ehlhardt, William J.; Gottumukkala, Subbaraju V.; Martinelli, Michael J.; Moher, Eric D.; Moore, Richard E.; Munroe, John E.; Norman, Bryan H.; Patel, Vinod F.; et al.
PA Eli Lilly and Company, USA; University of Hawaii; Wayne State University; Al-Awar, Rima S.; Ehlhardt, William J.; Gottumukkala, Subbaraju V.;

Martinelli, Michael J.; Moher, Eric D.; Moore, Richard E.

SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9808506	A1	19980305	WO 1997-US15245	19970829
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	WO 9707798	A1	19970306	WO 1996-US13855	19960830
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG; US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
	CA 2263420	AA	19980305	CA 1997-2263420	19970829
	AU 9743300	A1	19980319	AU 1997-43300	19970829
	EP 957912	A1	19991124	EP 1997-941379	19970829
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001502297	T2	20010220	JP 1998-511080	19970829

PRAI	WO 1996-US13855	A	19960830
	US 1997-38989P	P	19970226
	US 1997-39529P	P	19970303
	US 1995-2935P	P	19950830
	WO 1997-US15245	W	19970829

OS MARPAT 128:217640

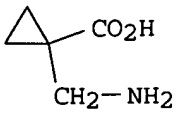
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention provides novel cryptophycin compds. I [G = C1-12 alkyl, C2-12 alkenyl, (un)substituted aryl or heteroaryl; R1 = halo, SR, OR, NH2, mono- or di-C1-6 alkylamino, tri-C1-6 alkylammonium, C1-6 alkylthio, di-C1-6 alkylsulfonium, C1-6 alkylsulfonyl, C1-6 alkylphosphonyl, R2 = OH, NH2, NR, SH; R1R2 = form double bond, 3-membered ring; R = H, C1-6 alkyl; R3 = lower alkyl; R4, R5 = H, double bond; R6 = (un)substituted C1-6 alkyl, C3-8 cycloalkyl, heteroaryl, aryl, alkylaryl; R7 = H, lower alkyl, C1-3 alkyl-NR51R52, OR51; R8 = H, lower alkyl; or R7R8 form cyclopropyl ring; R51, R52 = independently C1-3 alkyl; R9 = H, lower alkyl, unsatd. lower alkyl, lower alkyl-C3-5 cycloalkyl; R10 = H, lower alkyl; or R9R10 form cyclopropyl ring; R11 = H, OH, alkyl, Ph, substituted Ph, CH2Ph, substituted CH2Ph; R14 = H, lower alkyl; R30 = H, C1-6 alkyl; X = O, NH, alkylamino; Y = O, NH, alkylamino; with provisos] and pharmaceutically acceptable salts thereof, useful for disrupting the microtubulin system, as antineoplastic agents, antifungal agents, and for the treatment of cancer. The invention further provides a formulation for administering the novel cryptophycin compds. Thus, coupling of cyclopropanecarboxylic acid II (Boc = CO2CMe3) (preparation given) with cryptophycin fragment III, followed by deprotection, cyclization, and chlorohydrin formation gave novel cryptophycin IV. Compds. of this invention are useful against pathogenic fungi and were evaluated against a broad spectrum of murine and human tumors implanted in mice, including drug-resistant tumors.

IT **139126-45-7P**, LY 257141
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel cryptophycins as microtubulin-disrupting antitumor and antifungal agents)
RN 139126-45-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)

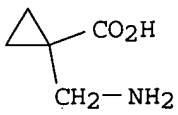


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

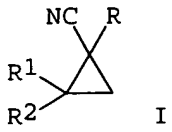
L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:151085 CAPLUS
DN 128:256692
TI The cycloalkane derivatives and carboxylic acid derivatives for preservation of cut flower
IN Sugiyama, Nagyoshi; Oritani, Takayuki
PA Otsuka Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10059801	A2	19980303	JP 1997-163402	19970604
PRAI JP 1996-166706	A	19960605		

AB Freshness of cut flower is preserved with cycloalkane derivs. and/or carboxylic acid derivs. The method is low in cost, free of environmental pollution, and highly effective.
IT **139126-45-7**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(cycloalkane derivs. and carboxylic acid derivs. for preservation of cut flower)
RN 139126-45-7 CAPLUS
CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1992:105655 CAPLUS
DN 116:105655
TI Novel synthesis of 1-cyanocyclopropanecarboxylic acid and its application to the synthesis of amino acids containing cyclopropane rings
AU Ohno, Mitsuru; Tanaka, Haruhisa; Komatsu, Mitsuo; Ohshiro, Yoshiki
CS Fac. Eng., Osaka Univ., Suita, 565, Japan
SO Synlett (1991), (12), 919-20
CODEN: SYNLES; ISSN: 0936-5214
DT Journal
LA English
OS CASREACT 116:105655
GI



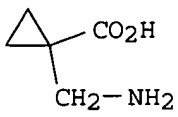
I

AB 1-Cyano-1-trimethylsilylcyclopropanes I (R = SiMe3, R1 = R2 = H, Ph; R1 = Ph, R2 = H) were efficiently converted to 1-cyanocyclopropane-1-carboxylic acids I (R = CO2H, R1, R2 = same) in the presence of a fluoride ion source (CsF) under CO2 atmosphere. This transformation provides a novel route to 1-aminocyclopropane-1-carboxylic acid, which is found in many plants, and to its homolog (1-aminomethylcyclopropane-1-carboxylic acid).

IT **139126-45-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139126-45-7 CAPLUS

CN Cyclopropanecarboxylic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)

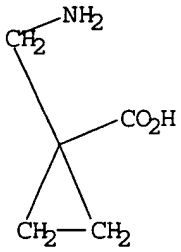


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L3 1 S L1 FUL

FILE 'CAPLUS' ENTERED AT 13:54:29 ON 18 AUG 2005
L4 6 S L3
L5 6 DUP REM L4 (0 DUPLICATES REMOVED)

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.